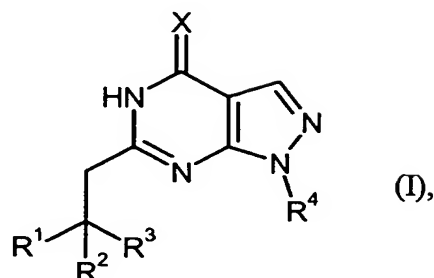


Claims

1. A compound of the formula



in which

$R^1$  is  $C_1$ - $C_6$ -alkyl, trifluoromethyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $-C(=O)OR^5$  or  $-C(=O)NR^6R^7$ , where  $C_1$ - $C_6$ -Alkyl is optionally substituted by 1 to 3 radicals independently of one another selected from the group of hydroxy,  $C_1$ - $C_6$ -alkoxy, halogen, trifluoromethyl, trifluoromethoxy,  $-C(=O)OR^5$  or  $-C(=O)NR^6R^7$ , and

$R^5$  is  $C_1$ - $C_6$ -alkyl,

$R^6$  and  $R^7$  are independently of one another hydrogen,  $C_6$ - $C_{10}$ -aryl,  $C_1$ - $C_6$ -alkyl, or together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

$R^2$  is hydrogen,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_1$ - $C_6$ -alkoxy,

or

$R^1$  and  $R^2$  together with the carbon atom to which they are bonded form  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkenyl or 4- to 10-membered heterocyclyl, which are optionally substituted by up to 2

substituents from the group of C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, hydroxy, oxo, -C(=O)OR<sup>8</sup>, and

R<sup>8</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl or benzyl,

5

R<sup>3</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl,

R<sup>4</sup> is pentan-3-yl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl,

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X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

2. A compound as claimed in claim 1, wherein

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R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, -C(=O)OR<sup>5</sup> or -C(=O)NR<sup>6</sup>R<sup>7</sup>, where C<sub>1</sub>-C<sub>6</sub>-alkyl is optionally substituted by hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, -C(=O)OR<sup>5</sup> or -C(=O)NR<sup>6</sup>R<sup>7</sup>, and

20

R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub>-alkyl,

R<sup>6</sup> and R<sup>7</sup> are independently of one another hydrogen, C<sub>6</sub>-C<sub>10</sub>-aryl, C<sub>1</sub>-C<sub>6</sub>-alkyl, or

25

together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

R<sup>2</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy,

30

or

5             $R^1$  and  $R^2$        together with the carbon atom to which they are bonded form  
                          $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_8$ -cycloalkenyl or 4- to 10-membered  
                         heterocyclyl, which are optionally substituted by up to 2  
                         substituents from the group of  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkoxy,  
                         hydroxy, oxo,  $-C(=O)OR^8$ , and

$R^8$        is  $C_1$ - $C_6$ -alkyl or benzyl,

10            $R^3$        is hydrogen or  $C_1$ - $C_6$ -alkyl,

$R^4$        is pentan-3-yl,  $C_4$ - $C_6$ -cycloalkyl,

                 X       is oxygen or sulfur,

15           and the salts, solvates and/or solvates of the salts thereof.

3.       A compound as claimed in claims 1 and 2, where

20            $R^1$        is  $C_1$ - $C_4$ -alkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy,  $-C(=O)OR^5$  or  $-C(=O)NR^6R^7$ ,  
                 where  $C_1$ - $C_4$ -alkyl is optionally substituted by hydroxy,  
                 trifluoromethyl,  $C_1$ - $C_4$ -alkoxy,  $-C(=O)OR^5$  or  $-C(=O)NR^6R^7$ , and

$R^5$        is  $C_1$ - $C_4$ -alkyl,

25            $R^6$  and  $R^7$        are independently of one another hydrogen, phenyl,  $C_1$ -  
                          $C_4$ -alkyl, or

                         together with the nitrogen atom to which they are  
                         bonded form a 5- to 6-membered heterocyclyl,

30

$R^2$        is hydrogen,  $C_1$ - $C_4$ -alkyl, trifluoromethyl,  $C_1$ - $C_4$ -alkoxy,

or

5             $R^1$  and  $R^2$        together with the carbon atom to which they are bonded form  
                  $C_5$ - $C_6$ -cycloalkyl,  $C_5$ - $C_6$ -cycloalkenyl or 5- to 6-membered  
                 heterocyclyl, which are optionally substituted by up to 2  
                 substituents from the group of  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  
                 hydroxy, oxo,  $-C(=O)OR^8$ , and

10                        $R^8$        is  $C_1$ - $C_4$ -alkyl or benzyl,

$R^3$        is hydrogen,

15                        $R^4$        is pentan-3-yl,  $C_5$ - $C_6$ -cycloalkyl,

                 X        is oxygen or sulfur,

                 and the salts, solvates and/or solvates of the salts thereof.

20            4.        A compound as claimed in claims 1 to 3, where

$R^1$        is methyl, ethyl, isopropyl, trifluoromethyl, methoxycarbonyl,  
                 ethoxycarbonyl or  $-C(=O)NR^6R^7$ , where methyl is optionally  
                 substituted by methoxycarbonyl or ethoxycarbonyl, and

25                        $R^6$        is phenyl and

$R^7$        is hydrogen,

30                        $R^2$        is hydrogen, methyl, trifluoromethyl, or

$R^1$  and  $R^2$  together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

5  $R^3$  is hydrogen,

$R^4$  is pentan-3-yl,  $C_5$ - $C_6$ -cycloalkyl,

10 X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

5. A compound as claimed in claims 1 to 4, where

15  $R^1$  is methyl, ethyl, isopropyl, methoxycarbonyl, ethoxycarbonyl or  $-C(=O)NR^6R^7$ , where methyl is optionally substituted by methoxycarbonyl or ethoxycarbonyl, and

20  $R^6$  is phenyl and

$R^7$  is hydrogen,

$R^2$  is hydrogen, methyl, or

25  $R^1$  and  $R^2$  together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

30  $R^3$  is hydrogen,

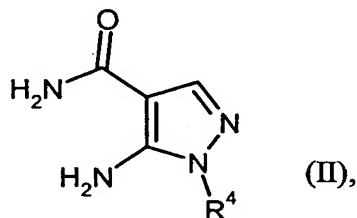
$R^4$  is pentan-3-yl,  $C_5$ - $C_6$ -cycloalkyl,

X is oxygen,

and the salts, solvates and/or solvates of the salts thereof.

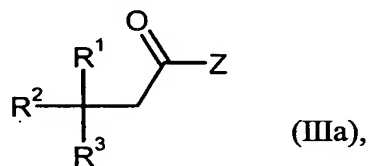
- 5      6.      A process for preparing compounds as claimed in claims 1 to 5, characterized in that

[A]      compounds of the formula



in which R<sup>4</sup> has the meanings indicated above,

are converted by reaction with a compound of the formula

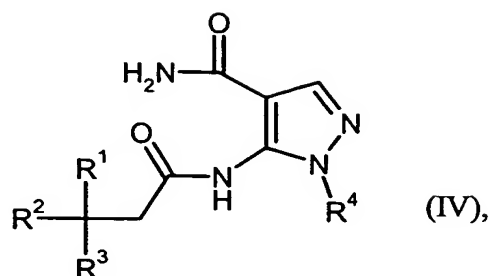


in which R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meanings indicated above,

and

Z is chlorine or bromine,

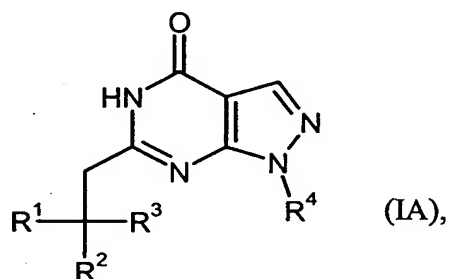
in an inert solvent and in the presence of a base initially into compounds of the formula



in which  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the meanings indicated above,

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then cyclized in an inert solvent and in the presence of a base to compounds of the formula



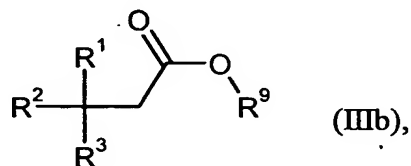
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in which  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the meanings indicated above,

or

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[B] compounds of the formula (II) are reacted, with direct cyclization to (IA), with a compound of the formula



in which  $R^1$ ,  $R^2$  and  $R^3$  have the meanings indicated above

and

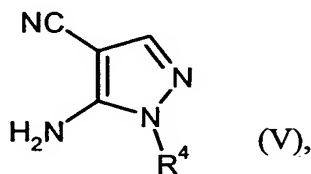
5  $R^9$  is methyl or ethyl,

in an inert solvent and in the presence of a base,

or

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[C] compounds of the formula

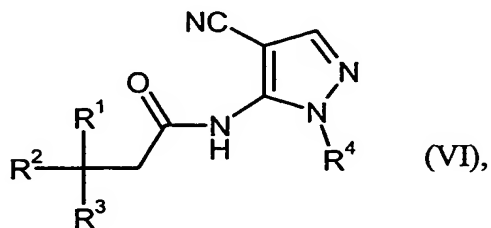


15

in which  $R^4$  has the meanings indicated above,

are initially converted by reaction with a compound of the formula (IIIa) in an inert solvent and in the presence of a base into compounds of the formula

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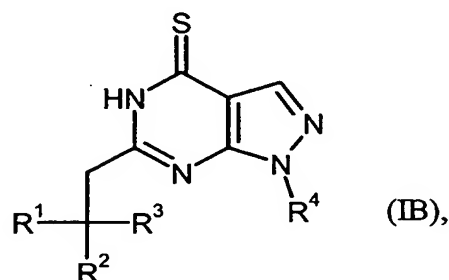
in which  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the meanings indicated above,



and the latter are cyclized in a second step in an inert solvent and in the presence of a base and of an oxidizing agent to (IA),

5

and the compounds of the formula (IA) are where appropriate then converted by reaction with a sulfurizing agent such as, for example, diphosphorus pentasulfide into the thiono derivatives of the formula



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in which  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  have the meanings indicated above,

and the resulting compounds of the formula (I) are reacted where appropriate with the appropriate (i) solvents and/or (ii) bases or acids to give the solvates, salts and/or solvates of the salts thereof.

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7. A compound as claimed in any of claims 1 to 5 for the treatment and/or prophylaxis of diseases.
8. A medicament comprising at least one of the compounds as claimed in any of claims 1 to 5 and at least one pharmaceutically acceptable, essentially nontoxic carrier or excipients.
9. The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament for the prophylaxis and/or treatment of impairments of perception, concentration, learning and/or memory.

10. The use as claimed in claim 9, where the impairment is a consequence of Alzheimer's disease.
- 5 11. The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament for improving perception, concentration, learning and/or memory.
- 10 12. A method for controlling impairment of perception, concentration, learning and/or memory in humans or animals through administration of an effective amount of the compounds from claims 1 to 5.
13. The method as claimed in claim 12, where the impairment is a consequence of Alzheimer's disease.